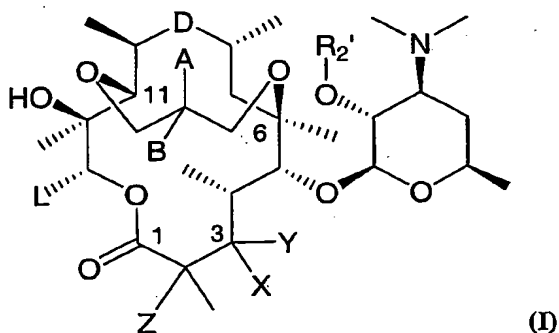


WHAT IS CLAIMED IS:

1. A compound of Formula I, or a pharmaceutically acceptable salt or ester or prodrug thereof:



wherein:

A is

- i) -OH;
- ii) -OR<sub>p</sub>, where R<sub>p</sub> is a hydroxy protecting group;
- 10 iii) -R<sub>1</sub>, where R<sub>1</sub> is aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- iv) -OR<sub>1</sub>, where R<sub>1</sub> is as previously defined;
- v) -R<sub>2</sub>, where R<sub>2</sub> is
- (a) hydrogen;
- (b) halogen;
- 15 (c) -C<sub>1</sub>-C<sub>6</sub> alkyl containing 0, 1, 2, or 3 heteroatoms selected from O, S or N, optionally substituted with one or more substituents selected from halogen, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- (d) -C<sub>2</sub>-C<sub>6</sub> alkenyl containing 0, 1, 2, or 3 heteroatoms selected from O, S, or N, optionally substituted with one or more substituents selected from halogen, aryl, substituted aryl, heteroaryl, or substituted heteroaryl; or
- 20 (e) -C<sub>2</sub>-C<sub>6</sub> alkynyl containing 0, 1, 2, or 3 heteroatoms selected from O, S or N, optionally substituted with one or more substituents selected from halogen, aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- vi) -OR<sub>2</sub>, where R<sub>2</sub> is previously defined;
- 25 vii) -S(O)<sub>n</sub>R<sub>11</sub>, where n=0, 1 or 2, and R<sub>11</sub> is R<sub>1</sub> or R<sub>2</sub>, where R<sub>1</sub> and R<sub>2</sub> are as previously defined;

- viii)  $-\text{NHC}(\text{O})\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- ix)  $-\text{NHC}(\text{O})\text{NHR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- x)  $-\text{NHS}(\text{O})_2\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- xi)  $-\text{NR}_{14}\text{R}_{15}$ , where  $\text{R}_{14}$  and  $\text{R}_{15}$  are each independently  $\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined; or
- xii)  $-\text{NHR}_3$ , where  $\text{R}_3$  is an amino protecting group;

B is

- i) hydrogen;
- ii) deuterium;
- iii) halogen;
- iv)  $-\text{OH}$ ;
- v)  $-\text{R}_1$ , where  $\text{R}_1$  is as previously defined;
- vi)  $-\text{R}_2$ , where  $\text{R}_2$  is as previously defined; or
- vii)  $-\text{OR}_p$ , where  $\text{R}_p$  is as previously defined, provided that when B is halogen,  $-\text{OH}$  or  $\text{OR}_p$ , A is  $\text{R}_1$  or  $\text{R}_2$ , where  $\text{R}_1$  and  $\text{R}_2$  are previously defined;

or, alternatively, A and B taken together with the carbon atom to which they are attached are

- i)  $\text{C}=\text{O}$ ;
- ii)  $\text{C}(\text{OR}_2)_2$ , where  $\text{R}_2$  is as previously defined;
- iii)  $\text{C}(\text{SR}_2)_2$ , where  $\text{R}_2$  is as previously defined;
- iv)  $\text{C}[-\text{O}(\text{CH}_2)_m]_2$ , where  $m=2$  or  $3$ ;
- v)  $\text{C}[-\text{S}(\text{CH}_2)_m]_2$ , where  $m$  is as previously defined;
- vi)  $\text{C}=\text{CHR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- vii)  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- viii)  $\text{C}=\text{NNHR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- ix)  $\text{C}=\text{NNHC}(\text{O})\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- x)  $\text{C}=\text{NNHC}(\text{O})\text{NHR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- xi)  $\text{C}=\text{NNHS}(\text{O})_2\text{R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- xii)  $\text{C}=\text{NNHR}_3$ , where  $\text{R}_3$  is as previously defined; or
- xiii)  $\text{C}=\text{NR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;

L is

- i)  $-\text{CH}_3$ ;
- ii)  $-\text{CH}_2\text{CH}_3$ ;

- iii)  $-\text{CH}(\text{OH})\text{CH}_3$ ;
- iv)  $-\text{C}_1-\text{C}_6$  alkyl, optionally substituted with one or more substituents selected from aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
- v)  $-\text{C}_2-\text{C}_6$  alkenyl, optionally substituted with one or more substituents selected from aryl, substituted aryl, heteroaryl, or substituted heteroaryl; or
- vi)  $-\text{C}_2-\text{C}_6$  alkynyl, optionally substituted with one or more substituents selected from aryl, substituted aryl, heteroaryl, or substituted heteroaryl;

D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $-\text{C}(\text{O})\text{N}(\text{R}')-$ , or  $-\text{C}(\text{OR}')=\text{N}-$ , wherein  $\text{R}'$  is  $\text{R}_{11}$  as previously defined;

Q is

- i) hydrogen;
- ii)  $-\text{C}_1-\text{C}_{12}$  -alkyl,  $\text{C}_3-\text{C}_{12}$  -alkenyl, or  $\text{C}_3-\text{C}_{12}$  -alkynyl, all optionally substituted with one, two or three substituents independently selected from:
  - (a) halogen;
  - (b)  $-\text{OR}_6$ , wherein  $\text{R}_6$  is selected from:
    - 1. hydrogen;
    - 2.  $-\text{C}_1-\text{C}_{12}$  -alkyl containing 0, 1, 2, or 3 heteroatoms selected from O, S or N, optionally substituted with one, two, or three substituents independently selected from aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
    - 3. aryl;
    - 4. substituted aryl;
    - 5. heteroaryl; and
    - 6. substituted heteroaryl;
  - (c)  $-\text{NR}_4\text{R}_5$ , where  $\text{R}_4$  and  $\text{R}_5$  are each independently  $\text{R}_6$ , where  $\text{R}_6$  is as previously defined, or in the alternative  $\text{R}_4$  and  $\text{R}_5$ , together with the atom to which they are attached, form a heterocycloalkyl or substituted heterocycloalkyl moiety;
  - (d)  $-\text{N}-\text{O}-\text{R}_6$ , where  $\text{R}_6$  is as previously defined;
  - (e)  $-\text{R}_1$ , where  $\text{R}_1$  is as previously defined;
  - (f)  $-\text{C}_3-\text{C}_8$  -cycloalkyl;
  - (g) substituted  $-\text{C}_3-\text{C}_8$  -cycloalkyl;
  - (h) heterocycloalkyl;

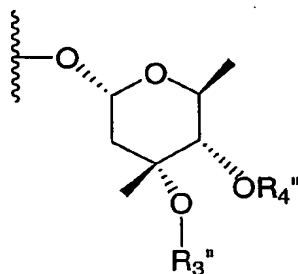
- (i) substituted heterocycloalkyl;
- (j)  $\text{-NHC(O)R}_6$ , where  $\text{R}_6$  is as previously defined;
- (k)  $\text{-NHC(O)OR}_7$ , where  $\text{R}_7$  is selected from:
  1.  $\text{-C}_1\text{-C}_{12}$ -alkyl containing 0, 1, 2, or 3 heteroatoms selected from O, S or N, optionally substituted with one, two, or three substituents independently selected from aryl, substituted aryl, heteroaryl, or substituted heteroaryl;
  2. aryl;
  3. substituted aryl;
  4. heteroaryl; or
  5. substituted heteroaryl;
- (l)  $\text{-NHC(O)NR}_4\text{R}_5$ , where  $\text{R}_4$  and  $\text{R}_5$  are as previously defined;
- (m)  $\text{-OC(O)NR}_4\text{R}_5$ , where  $\text{R}_4$  and  $\text{R}_5$  are as previously defined;
- (n)  $\text{-OC(O)R}_7$ , where  $\text{R}_7$  is as previously defined;
- (o)  $\text{-OC(O)OR}_7$ , where  $\text{R}_7$  is as previously defined;
- (p)  $\text{-OC(O)NR}_4\text{R}_5$ , where  $\text{R}_4$  and  $\text{R}_5$  are as previously defined;
- (q)  $\text{-C(O)R}_6$ , where  $\text{R}_6$  is as previously defined;
- (r)  $\text{-CO}_2\text{R}_6$ , where  $\text{R}_6$  is as previously defined; or
- (s)  $\text{-C(O)NR}_4\text{R}_5$ , where  $\text{R}_4$  and  $\text{R}_5$  are as previously defined;

X is hydrogen;

Y is

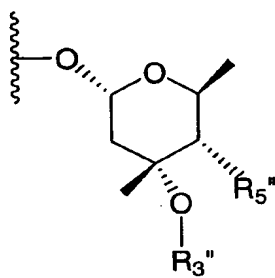
- i) hydrogen;
- ii)  $\text{-OH}$ ;
- iii)  $\text{-OR}_p$ , where  $\text{R}_p$  is as previously defined;
- iv)  $\text{-OR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- v)  $\text{-OC(O)R}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- vi)  $\text{-OC(O)NHR}_{11}$ , where  $\text{R}_{11}$  is as previously defined;
- vii)  $\text{-S(O)}_n\text{R}_{11}$ , where  $n$  and  $\text{R}_{11}$  are as previously defined;

viii)



(1) where  $R_3''$  is hydrogen or methyl;  $R_4''$  is hydrogen or  $R_p$ , where  $R_p$  is as previously defined;

5 ix)



(1) where  $R_3''$  is as previously defined;  $R_5''$  is  $NH_2$  or  $R_{am}$ , where  $R_{am}$  is protected amino;

10 or, in the alternative, X and Y are combined together to form oxo;

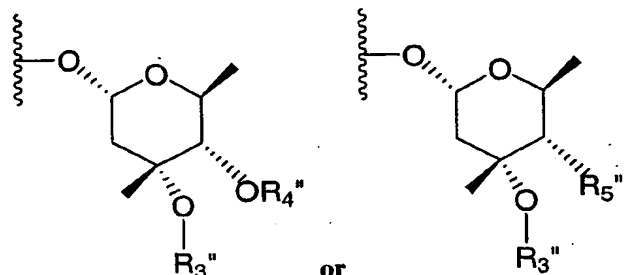
Z is

- 15 i) hydrogen;  
 ii) methyl; or  
 iii) halogen; and

$R_2'$  is hydrogen or  $R_p$ , where  $R_p$  is as previously defined.

2. A compound according to claim 1, or a pharmaceutically acceptable salt or ester  
 20 or prodrug thereof, wherein D is  $-CH_2N(Q)-$ .

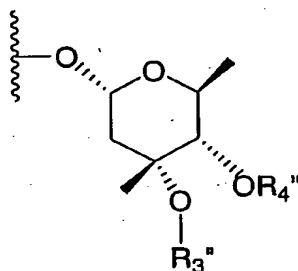
3. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, wherein D is  $-\text{CH}_2\text{N}(\text{Q})-$ ; X is hydrogen; and Y is



wherein  $\text{R}_3''$ ,  $\text{R}_4''$  and  $\text{R}_5''$  are each as defined in claim 1.

5

4. A compound according to claim 3, or a pharmaceutically acceptable salt or ester or prodrug thereof, wherein Y is



10

5. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, wherein D is  $-\text{N}(\text{Q})\text{CH}_2-$  and X and Y taken together are oxo.

6. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, wherein D is  $-\text{N}=\text{CH}(\text{OR}')-$ , wherein  $\text{R}'$  is as defined in claim 1.

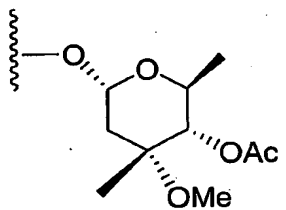
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7. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, wherein D is  $-\text{C}(\text{O})\text{N}(\text{R}')$ , wherein  $\text{R}'$  is as defined in claim 1.

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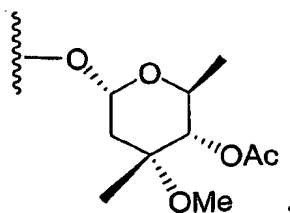
8. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, selected from the group consisting of:

- (i) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $C=CH_2$ , D is  $-CH_2N(Q)-$ ,  $Q = X = Z = H$ ,  $Y = OH$ ,  $L = CH_2CH_3$ ,  $R_2' = Ac$ ;
- (ii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $C=CH_2$ , D =  $-CHN(Q)-$ ,  $Q = Z = H$ , X and Y taken together are oxo, L =  $CH_2CH_3$ ,  $R_2' = H$ ;
- (iii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached are  $C=CH_2$ , D =  $-CH_2N(Q)-$ ,  $Q = CH_3$ ,  $X = Z = H$ ,  $Y = OH$ ,  $L = CH_2CH_3$ ,  $R_2' = H$ ;
- (iv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached are  $C=CH_2$ , D =  $-CH_2N(Q)-$ ,  $Q = CH_3$ ,  $Z = H$ , X and Y taken together are oxo, L =  $CH_2CH_3$ ,  $R_2' = H$ ;
- (v) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-CH_2N(Q)-$ ,  $Q = X = Z = H$ ,  $Y = OH$ ,  $L = CH_2CH_3$ ,  $R_2' = Ac$ ;
- (vi) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-CH_2N(Q)-$ ,  $Q = X = Z = H$ ,  $Y = OH$ ,  $L = CH_2CH_3$ ,  $R_2' = H$ ;
- (vii) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-CHN(Q)-$ ,  $Q = Z = H$ , X and Y taken together are oxo, L =  $CH_2CH_3$ ,  $R_2' = H$ ;
- (viii) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-CH_2N(Q)-$ ,  $Q = CH_3$ ,  $X = Z = H$ ,  $Y = OH$ ,  $L = CH_2CH_3$ ,  $R_2' = H$ ;
- (ix) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-CHN(Q)-$ ,  $Q = CH_3$ ,  $Z = H$ , X and Y taken together are oxo, L =  $CH_2CH_3$ ,  $R_2' = H$ ;
- (x) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-(C=NOH)-$ ,  $X = Z = H$ , Y =



- L =  $CH_2CH_3$ ,  $R_2' = Ac$ ;
- (xi) a compound of Formula I, wherein A = H, B =  $CH_3$ , D =  $-C(=O)NH-$ , X =

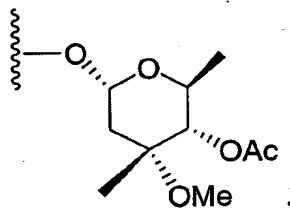
Z = H, Y =



L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = Ac;

(xii) a compound of Formula I, wherein A = H, B = CH<sub>3</sub>, D = -C(=O)NH-, X = Z =

5 H, Y =



L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xiii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CHN(Q)-, Q = CH<sub>2</sub>-Ph, Z = X = H, Y = OH, L = CH<sub>2</sub>CH<sub>3</sub>,

10 R<sub>2</sub>' = H;

(xiv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>-Ph, Z = H, X and Y are taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>-(2-pyridyl), Z = X = H, Y = OH, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xvi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>-(2-pyridyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xvii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>-(3-quinolyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;



(xviii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>-(3-quinolyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

5 (xix) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>(CH=CH)-Ph, Z = X = H, Y = OH, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xx) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CHN(Q)-, Q = CH<sub>2</sub>(CH=CH)-Ph, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

10 (xxi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH=CH-(2-pyridyl), Z = X=H, Y = OH, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CHN(Q)-, Q = CH<sub>2</sub>CH=CH-(2-pyridyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

15 (xxiii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>C≡C-(3-quinolyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxiv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH<sub>2</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>C≡C-(3-quinolyl), Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH-CH=CH-Ph, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

25 (xxvi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH-CH=CH-(3-pyridyl), D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxvii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH-CH=CH-(3-quinolyl), D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

30 (xxviii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH-(3-quinolyl), D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H; and

(xxix) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached = C=CH-Ph, D = -CHN(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H.

5 (xxx) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=CH<sub>2</sub>, D is -CH<sub>2</sub>N(Q)-, Q = X = Z = H, Y = OH, L = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxxi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=CH<sub>2</sub>, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, X = Z = H, Y = OH, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

10 (xxxii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=CH<sub>2</sub>, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxxiii) a compound of Formula I, wherein A = H, B = CH<sub>3</sub>, D = -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

15 (xxxiv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=O, D is -CH<sub>2</sub>N(Q)-, Q = Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxxv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=O, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

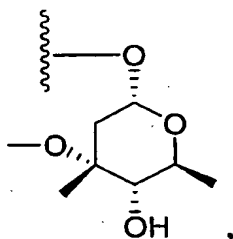
(xxxvi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=O, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

25 (xxxvii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-OH, D is -CH<sub>2</sub>N(Q)-, Q = Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

(xxxviii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-OH, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

30 (xxxix) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-OH, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

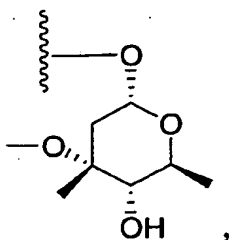
- (xl) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = [5-(6-aminopyrid-2-yl)thien-2-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- 5 (xli) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = [5-(6-aminopyrid-2-yl)thien-2-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- (xlii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = [5-(6-aminopyrid-2-yl)thien-2-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- 10 (xliii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = [2-(pyrazol-1-yl)pyrid-5-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- (xliv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = [2-(pyrazol-1-yl)pyrid-5-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- 15 (xlv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=N-O-R<sub>11</sub>, R<sub>11</sub> = 5-[2-(pyrazol-1-yl)pyrid-5-yl]methyl, D is -CH<sub>2</sub>N(Q)-, Q = CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, Z = H, X and Y taken together are oxo, L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;
- (xlvii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is C=CH<sub>2</sub>, D is -CH<sub>2</sub>N(Q)-, Q = X = Z = H, Y =
- 20



L = CH<sub>2</sub>CH<sub>3</sub>, R<sub>2</sub>' = H;

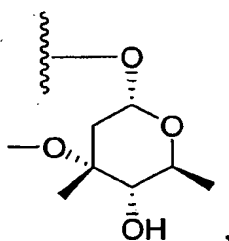
- (xlvii) a compound of Formula I, wherein A and B taken together with the carbon

atom to which they are attached is  $C=CH_2$ , D is  $-CH_2N(Q)-$ ,  $Q = CH_3$ ,  $X = Z = H$ ,  $Y =$



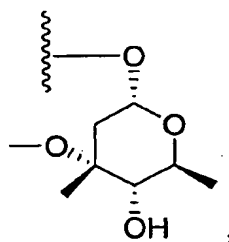
$L = CH_2CH_3$ ,  $R_2' = H$ ;

- (xlviii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $C=CH_2$ , D is  $-CH_2N(Q)-$ ,  $Q = CH_2CH_2CH_3$ ,  $X = Z = H$ ,  $Y =$



$L = CH_2CH_3$ ,  $R_2' = H$ ;

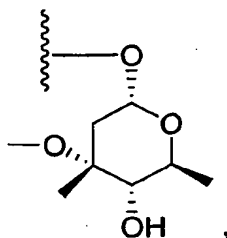
- (xlix) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $C=N-O-R_{11}$ ,  $R_{11} = [5-(6\text{-aminopyrid-2-yl})\text{thien-2-yl}]methyl$ , D is  $-CH_2N(Q)-$ ,  $Q = X = Z = H$ ,  $Y =$



$L = CH_2CH_3$ ,  $R_2' = H$ ;

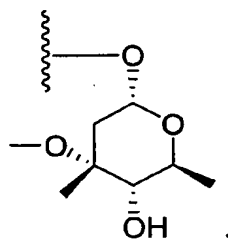
- (l) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $C=N-O-R_{11}$ ,  $R_{11} = [5-(6\text{-aminopyrid-2-yl})\text{thien-2-yl}]methyl$ ,

D is  $-\text{CH}_2\text{N}(\text{Q})-$ , Q =  $\text{CH}_3$ , X = Z = H, Y =



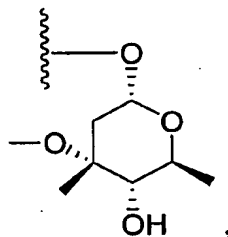
L =  $\text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

- (li) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [5-(6\text{-aminopyrid-2-yl})\text{thien-2-yl}]\text{methyl}$ , D is  $-\text{CH}_2\text{N}(\text{Q})-$ , Q =  $\text{CH}_2\text{CH}_2\text{CH}_3$ , X = Z = H, Y =



L =  $\text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

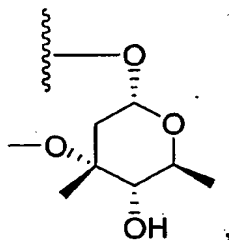
- (lii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]\text{methyl}$ , D is  $-\text{CH}_2\text{N}(\text{Q})-$ , Q = X = Z = H, Y =



L =  $\text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

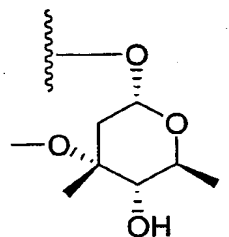
- (liii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]\text{methyl}$ , D is

$-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



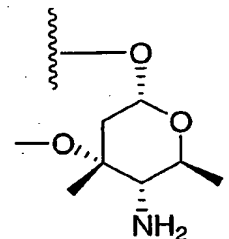
$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

- (liv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]\text{methyl}$ , D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_2\text{CH}_2\text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

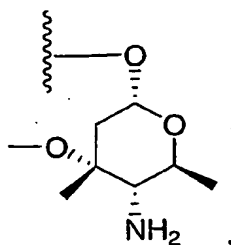
- (lv) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [5-(6\text{-aminopyrid-2-yl})\text{thien-2-yl}]\text{methyl}$ , D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

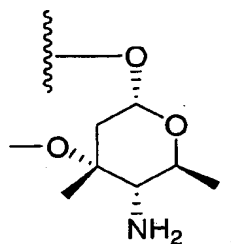
- (lvi) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = 2-[5-(6\text{-aminopyrid-2-yl})\text{thien-2-}]$

yl)methyl, D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



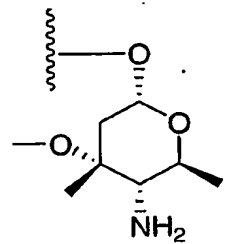
$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

- (lvii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [5-(6\text{-aminopyrid-2-yl})\text{thien-2-yl}]$ methyl, D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_2\text{CH}_2\text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

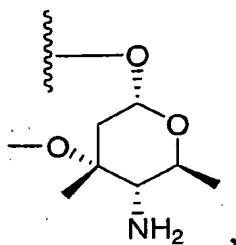
- (lviii) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = 5-[2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]$ methyl, D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ;

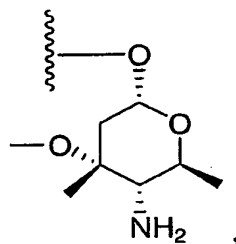
- (lix) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]$ methyl, D is

$-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$



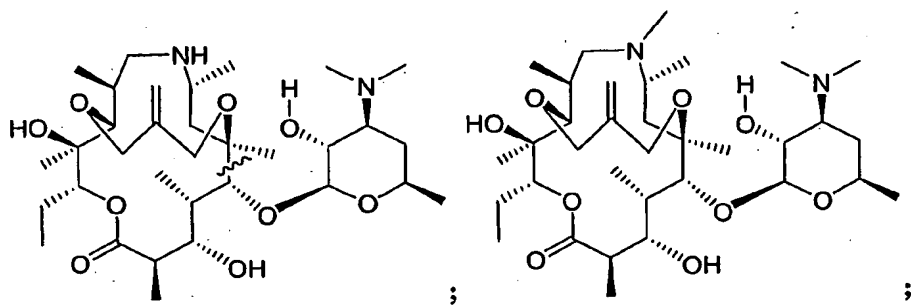
$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ ; and

- (lx) a compound of Formula I, wherein A and B taken together with the carbon atom to which they are attached is  $\text{C}=\text{N}-\text{O}-\text{R}_{11}$ ,  $\text{R}_{11} = [2-(\text{pyrazol-1-yl})\text{pyrid-5-yl}]\text{methyl}$ , D is  $-\text{CH}_2\text{N}(\text{Q})-$ ,  $\text{Q} = \text{CH}_2\text{CH}_2\text{CH}_3$ ,  $\text{X} = \text{Z} = \text{H}$ ,  $\text{Y} =$

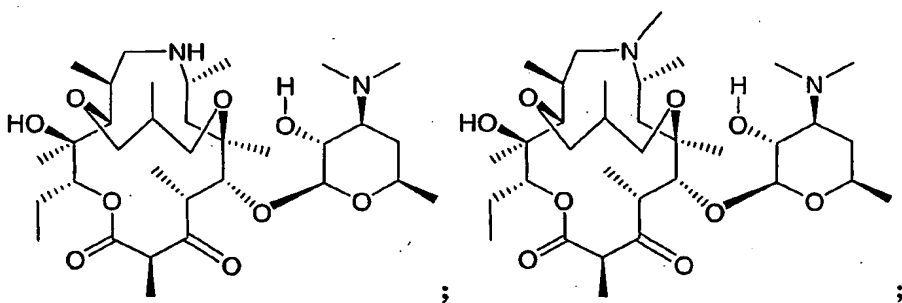
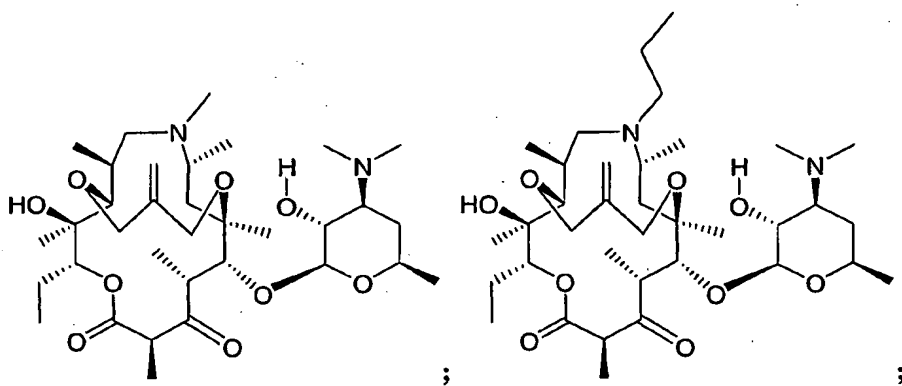
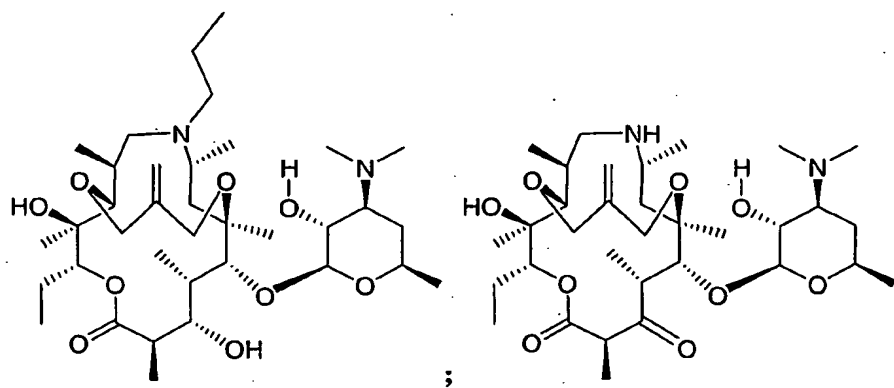


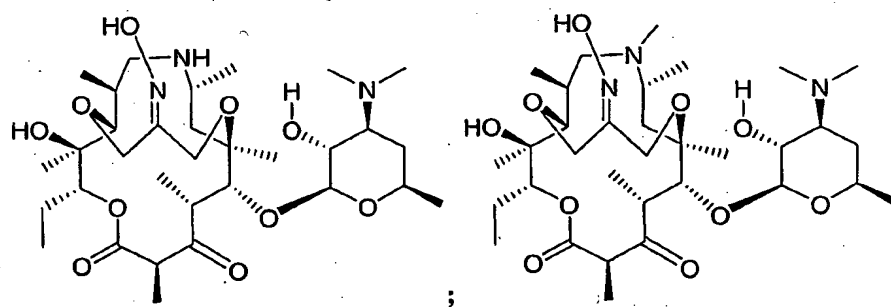
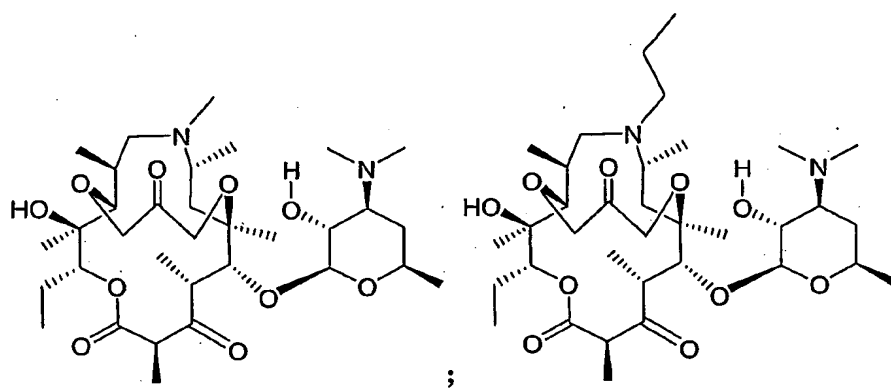
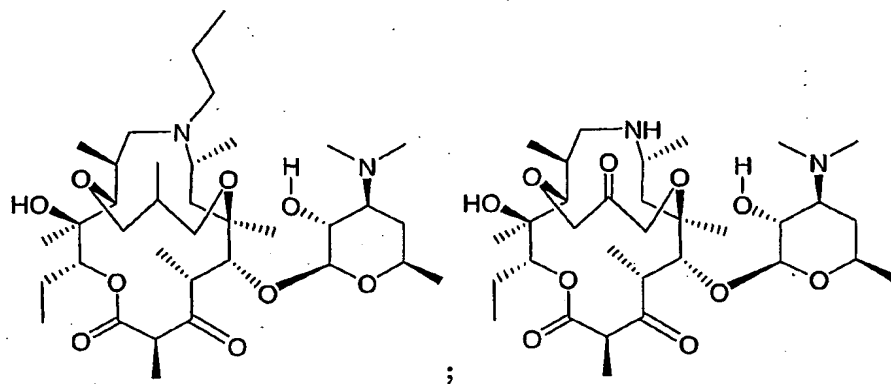
$\text{L} = \text{CH}_2\text{CH}_3$ ,  $\text{R}_2' = \text{H}$ .

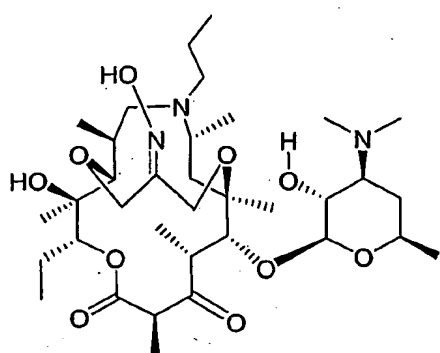
9. A compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, selected from the group consisting of:



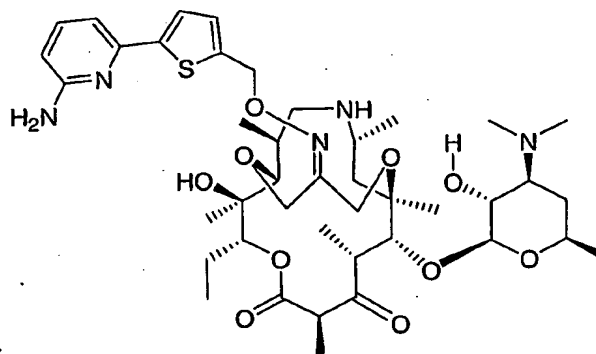




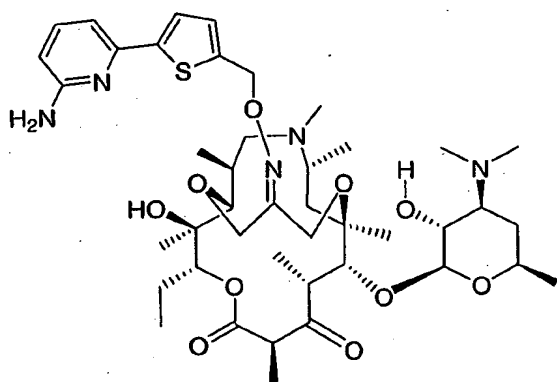




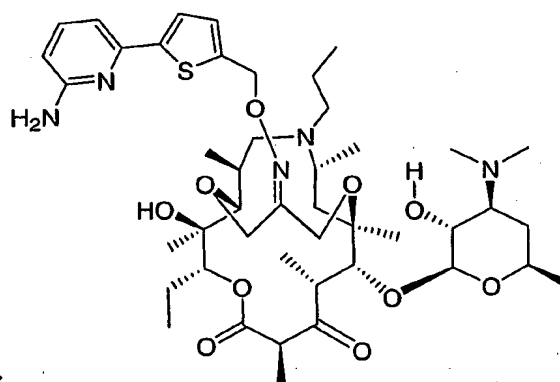
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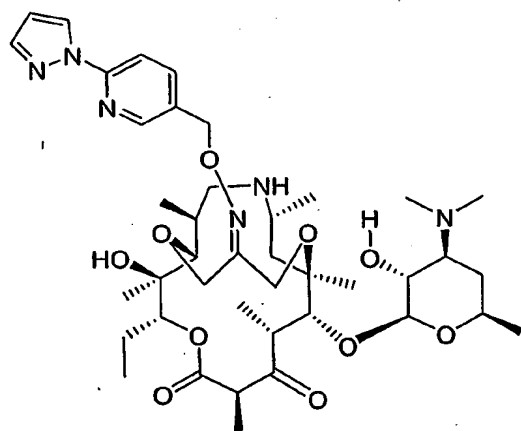
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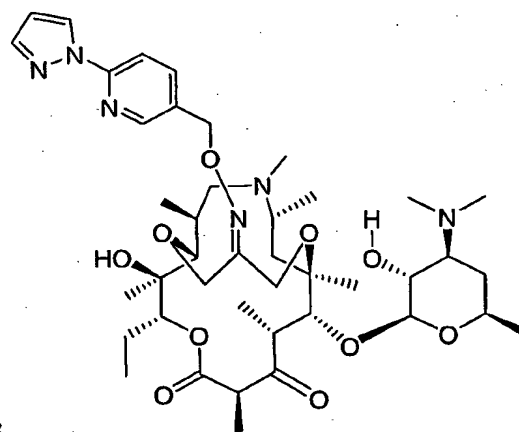
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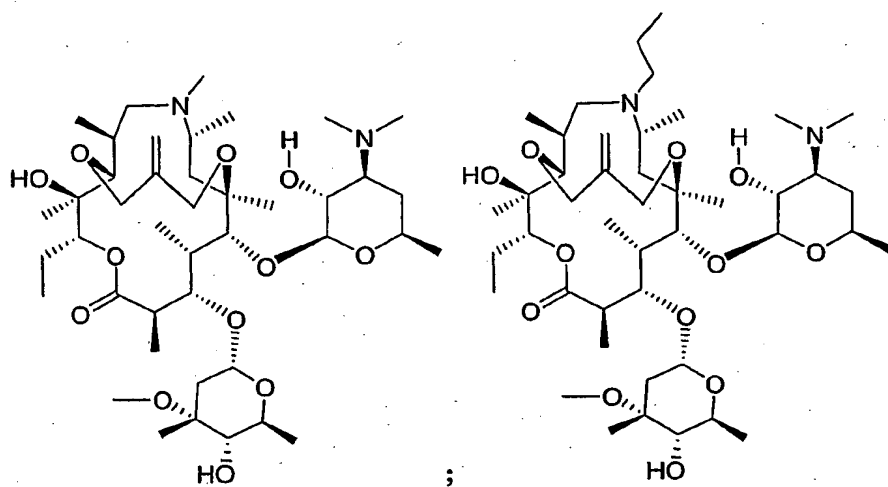
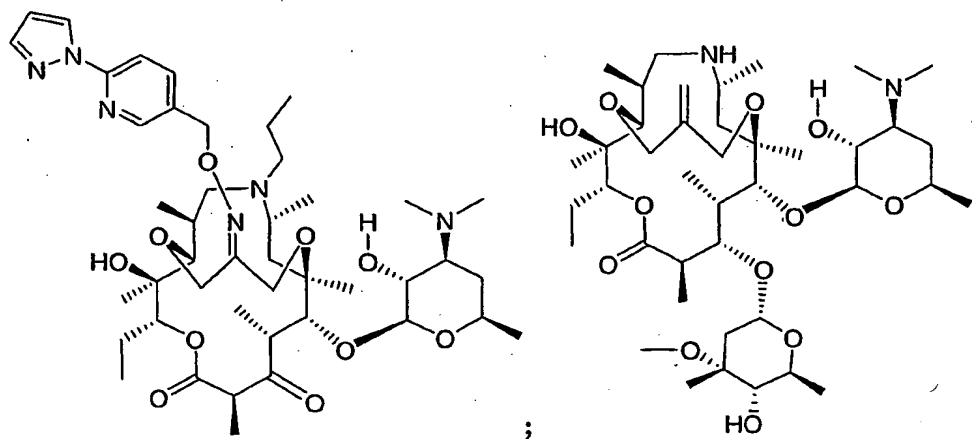
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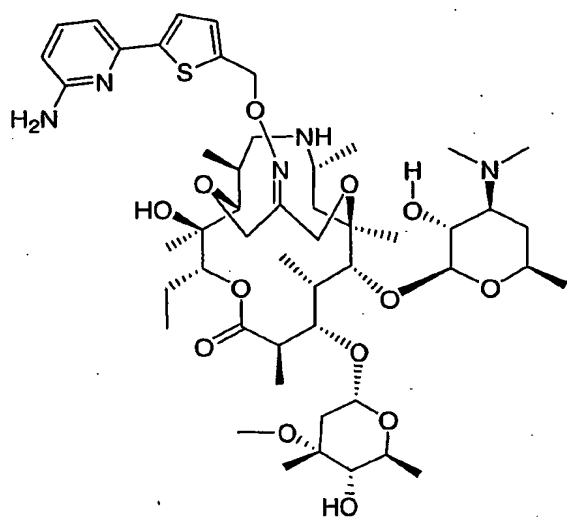


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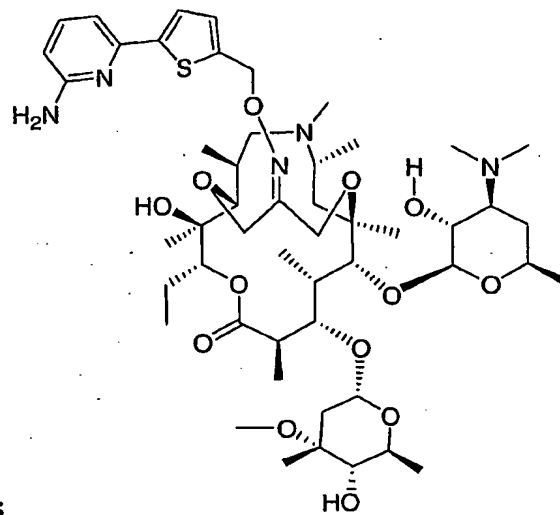


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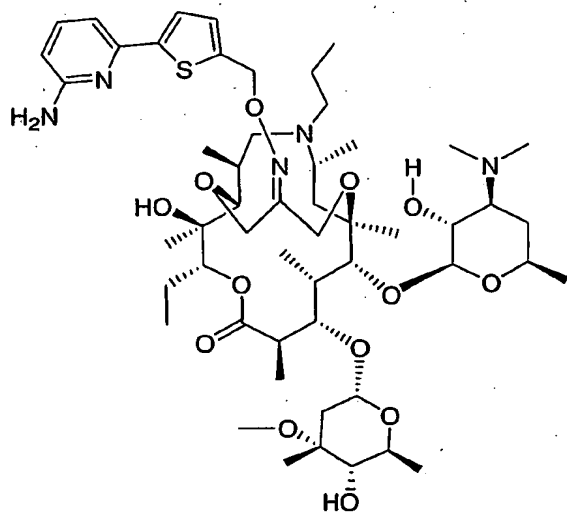




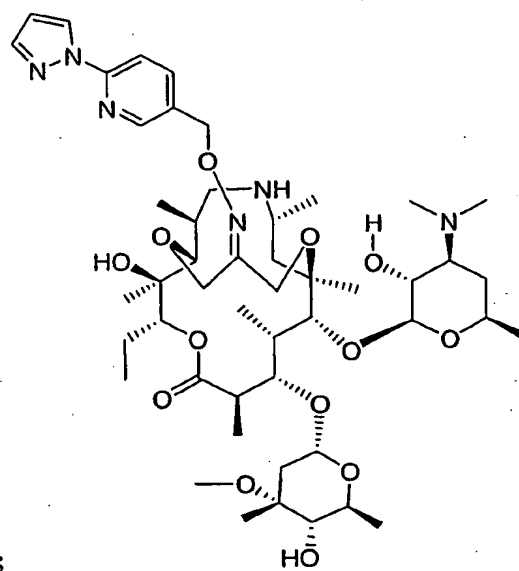
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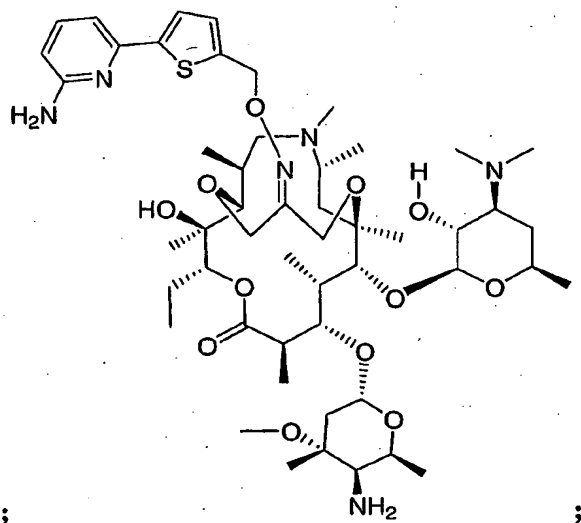
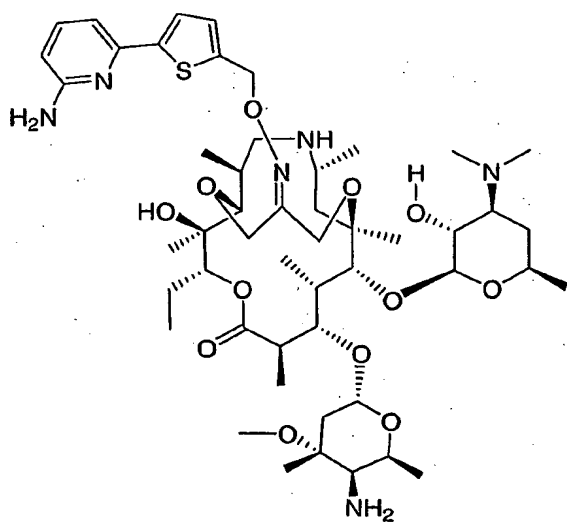
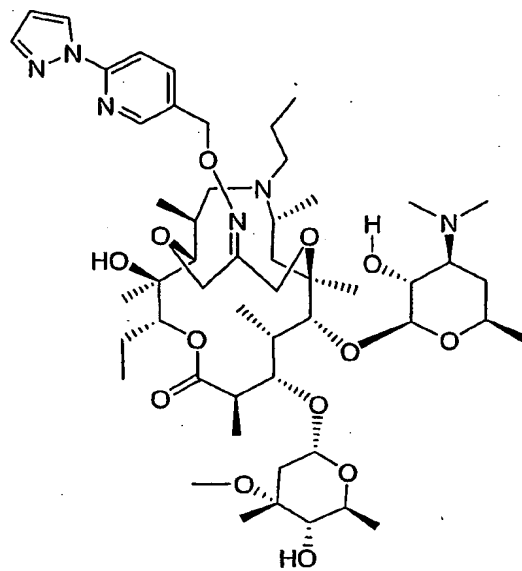
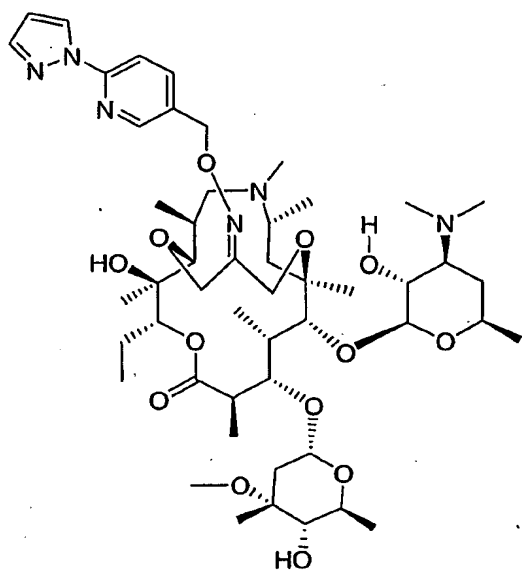
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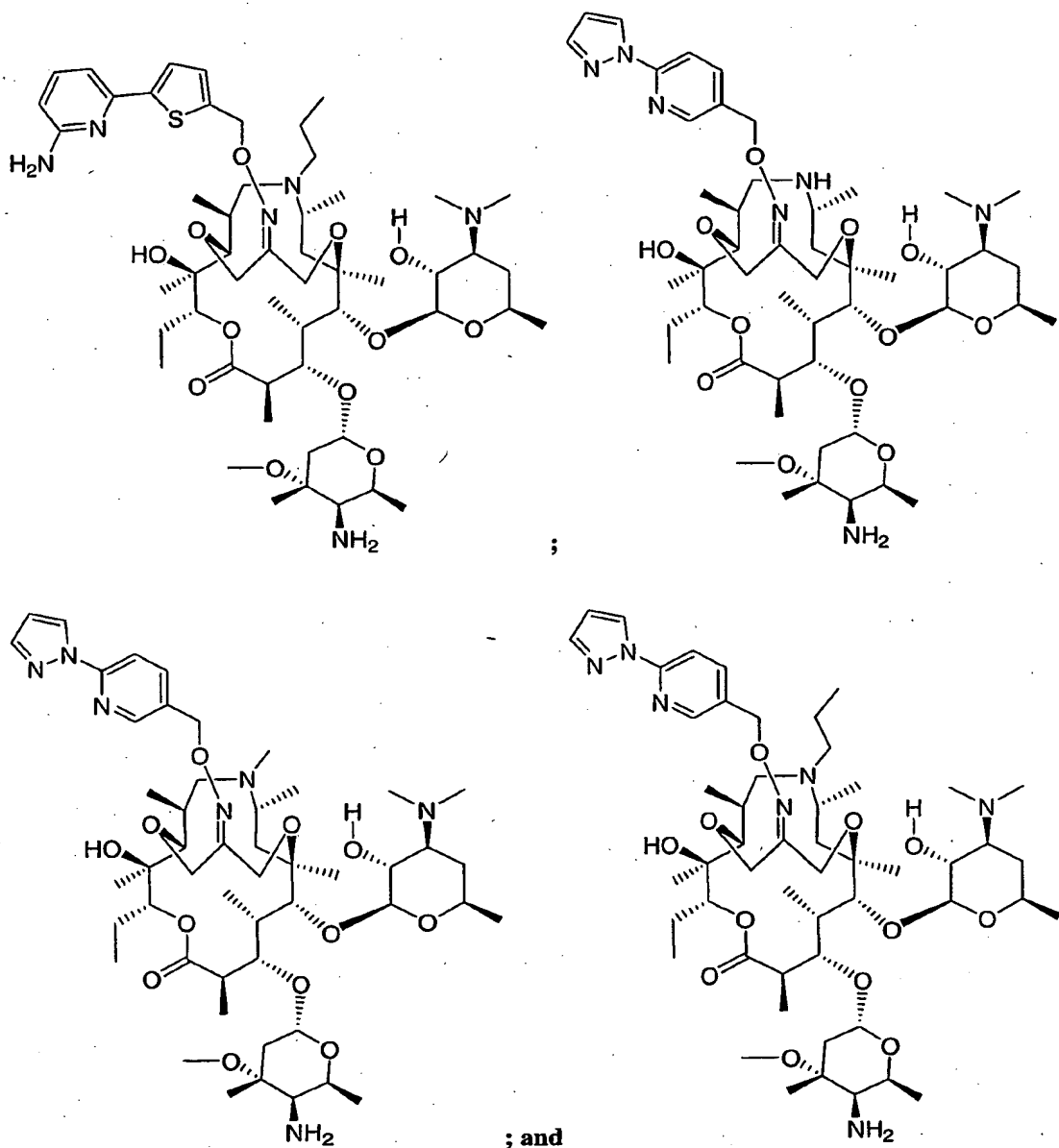


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10. A pharmaceutical composition comprising:

5

- (i) a compound of Formula I as defined in claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, in an amount effective for treating or preventing a bacterial infection; and
- (ii) a pharmaceutically acceptable carrier.

11. A pharmaceutical combination of
- (i) a compound of Formula I as defined in claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof, and
- (ii) an antibacterial agent other than a compound of Formula I or a salt, ester or prodrug thereof;

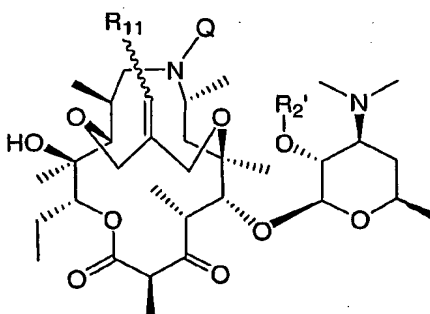
wherein the compound of Formula I or its pharmaceutically acceptable salt or ester or prodrug and the antibacterial agent are each employed in an amount that renders the combination effective for treating or preventing a bacterial infection.

12. A method for treating or preventing a bacterial infection in a subject in need thereof, which comprises administering to the subject a therapeutically or prophylactically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt or ester or prodrug thereof.

13. A method for treating or preventing a bacterial infection in a subject in need thereof, which comprises administering to the subject a therapeutically or prophylactically effective amount of a pharmaceutical composition according to claim 10.

14. A method for treating or preventing a bacterial infection in a subject in need thereof, which comprises administering to the subject a therapeutically or prophylactically effective amount of a pharmaceutical combination according to claim 11.

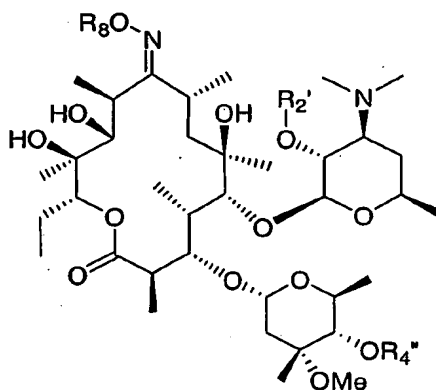
15. A process for the preparation of a compound of formula:



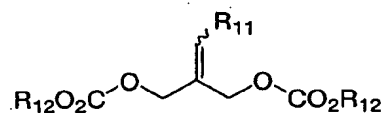
wherein Q and R<sub>2</sub>' are each as defined in claim 1, which comprises:

- (1) reacting a compound of formula:



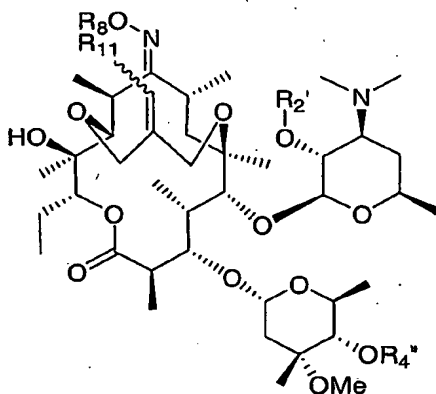


with an alkylating agent of formula:



in the presence of a phosphine ligand and Pd(O) catalyst under reflux conditions to prepare a compound of the Formula:

5



wherein:  
R<sub>8</sub> is

- 10      a.      hydrogen,  
         b.      -CH<sub>2</sub>O(CH<sub>2</sub>)<sub>2</sub>OCH<sub>3</sub>,  
         c.      -CH<sub>2</sub>O(CH<sub>2</sub>O)<sub>n</sub>CH<sub>3</sub> where n is zero, 1 or 2;  
         d.      -C<sub>1</sub>-C<sub>12</sub> alkyl, optionally substituted with one or more substituents selected from aryl,  
                 substituted aryl, heteroaryl and substituted heteroaryl;  
         e.      -C<sub>3</sub>-C<sub>12</sub> cycloalkyl;  
15      f.      -C(O)-C<sub>1</sub>-C<sub>12</sub> alkyl;

- g.  $-\text{C}(\text{O})-\text{C}_3-\text{C}_{12}$  cycloalkyl;
- h.  $-\text{C}(\text{O})-\text{R}_1$ , where  $\text{R}_1$  is as previously defined; or
- i.  $-\text{Si}(\text{R}_a)(\text{R}_b)(\text{R}_c)$ , wherein  $\text{R}_a$ ,  $\text{R}_b$  and  $\text{R}_c$  are each independently selected from  $\text{C}_1-\text{C}_{12}$  alkyl, aryl and substituted aryl;

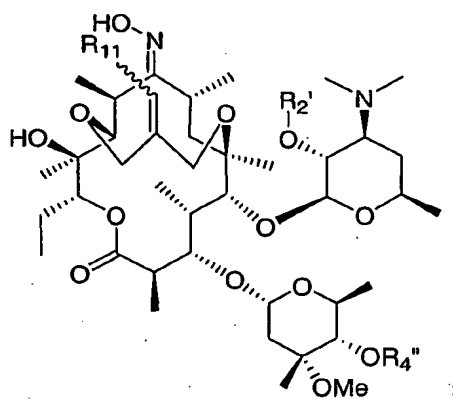
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$\text{R}_2'$  and  $\text{R}_4''$  are as previously defined in claim 1; and

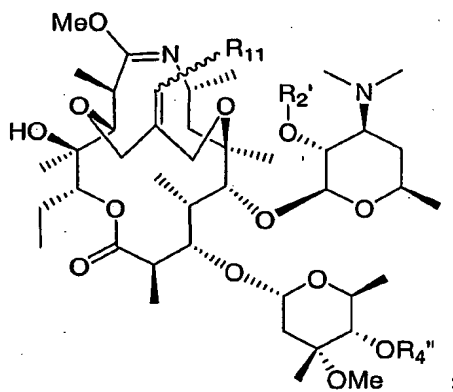
$\text{R}_{11}$  is as defined in claim 1 and  $\text{R}_{12}$  is  $\text{C}_1-\text{C}_{12}$  alkyl;

10

(2) treating the compound obtained in step (1) with an aqueous base to obtain the Z-oxime of formula:

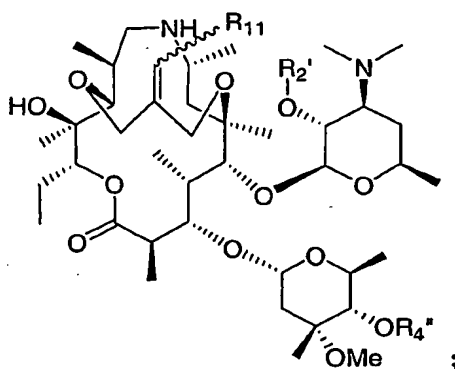


(3) reacting the compound prepared in step (2) with an oxime activating agent and quenching with methanol to prepare a compound of formula:

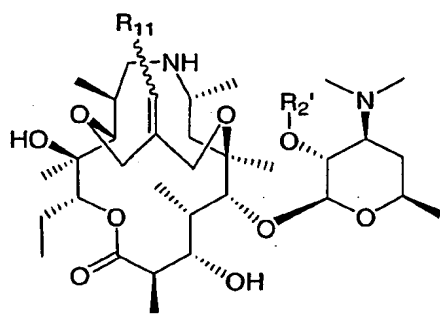


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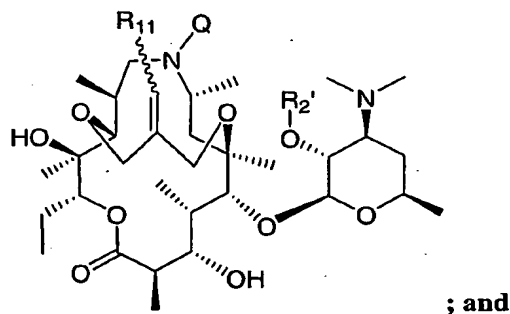
(4) reacting the compound prepared in step (3) with a reducing agent to prepare compound of formula:



(5) reacting the compound prepared in step (4) with a mild acid to prepare a compound of formula:



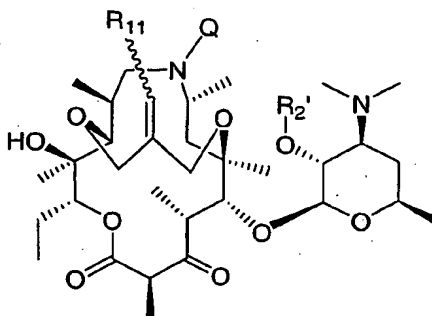
5 (6) reacting the compound prepared in step (5) with an agent containing the group Q selected from the group consisting of an alkylating agent, an alkyl halide in the presence of a base, and an aldehyde via reductive amination in the presence of  $NaCNBH_3$  to prepare a compound of formula:



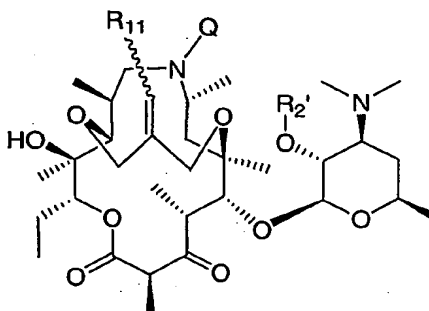
; and

10 (7) oxidizing the hydroxyl in the 3 position of the compound prepared in step (6) via Dess-Martin oxidation, Corey-Kim oxidation, or a Moffat oxidation to prepare a

compound of formula:



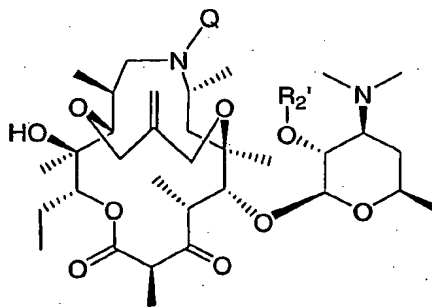
16. A process of preparing compounds of formula:



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which comprises

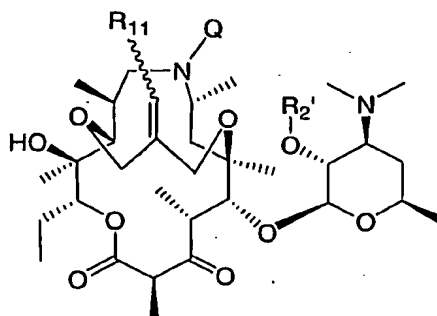
(a) reacting a compound of formula:



10 with  $\text{CH}_2=\text{CH}-\text{R}_{11}$  in the presence of a ruthenium catalyst;

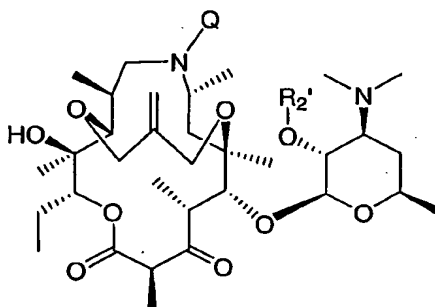
wherein Q,  $\text{R}_2'$ , and  $\text{R}_{11}$  are each as defined in claim 1.

17. A process of preparing compounds of formula:



which comprises

- (a) reacting a compound of formula:

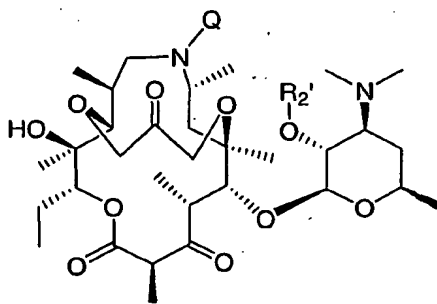


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with R<sub>11</sub>-halide under Heck coupling conditions using a palladium catalyst optionally with a phosphine ligand;

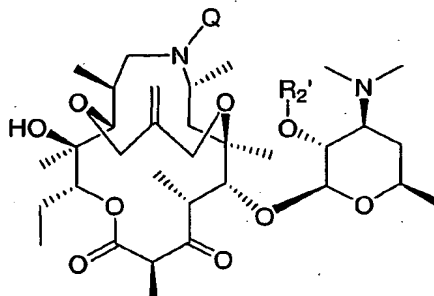
- 10 wherein Q and R<sub>2</sub>' are each as defined in claim 1; and R<sub>11</sub> is aryl, substituted aryl, or C<sub>1</sub>-C<sub>6</sub> alkyl substituted with aryl or substituted aryl.

18. A process of preparing a compound of the Formula:



which comprises:

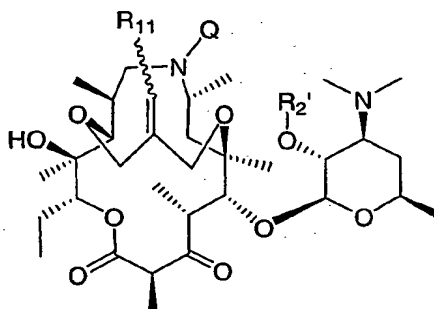
- (a) performing ozonolysis on a compound of formula:



wherein Q and R<sub>2</sub>' are each as defined in claim 1.

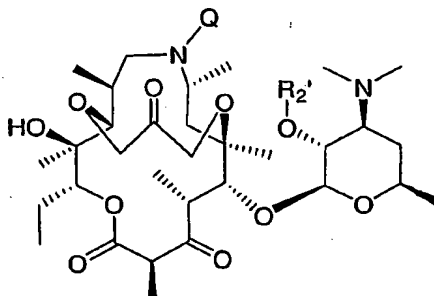
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19. A process of preparing a compound of formula:



which comprises:

- 10 (a) reacting a compound of formula:

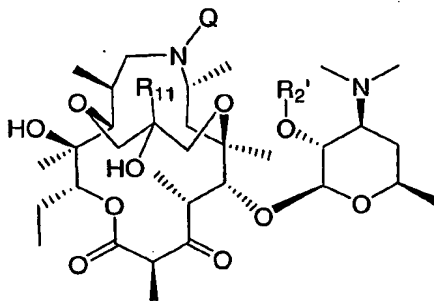


with a phosphoylid under Wittig conditions;

wherein Q, R<sub>2</sub>', and R<sub>11</sub> are as defined in claim 1.

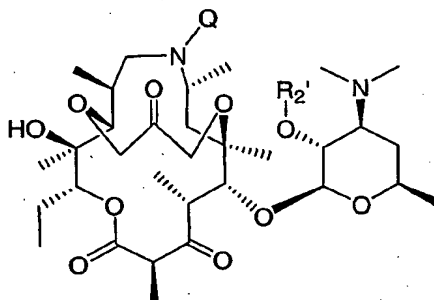
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20. A process of preparing a compound of formula:



which comprises:

- (a) reacting a compound of formula:



5

with a Grignard reagent containing the R<sub>11</sub> group;

wherein Q, R<sub>2</sub>', and R<sub>11</sub> are as defined in claim 1.